=> d que L3 STR

```
17
                                   16
26
0
   22
       21
            20
                19
                                  24
C 250
        0
                 0
                                  0
                                ~ C-∕~ o
                 7
                     8
                             10 11 23
```

NODE ATTRIBUTES:

CONNECT IS X2 RC AT 2 CONNECT IS E3 RC AT 3 CONNECT IS E3 RC AT CONNECT IS E3 RC AT 5 CONNECT IS E3 RC AT 6 CONNECT IS E3 RC AT 7 CONNECT IS E2 RC AT 8 CONNECT IS E3 RC AT 9 CONNECT IS E2 RC AT 10 CONNECT IS E3 RC AT CONNECT IS E1 RC AT CONNECT IS E1 RC AT CONNECT IS E1 RC AT 22 CONNECT IS E1 RC AT 23 CONNECT IS E2 RC AT 25 CONNECT IS E1 RC AT 26 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 13

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

125 SEA FILE=REGISTRY SSS FUL L3

L5 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L4

=> d ibib abs hitstr 15 1-7

ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:410373 HCAPLUS

DOCUMENT NUMBER:

137:137428

TITLE:

Synthesis and anti-Helicobacter pylori activity of pyloricidin derivatives: II. The combination of amino acid residues in the dipeptidic moiety and its effect

on the anti-Helicobacter pylori activity

AUTHOR(S):

Hasuoka, Atsushi; Nishikimi, Yuji; Nakayama, Yutaka;

CORPORATE SOURCE:

Kamiyama, Keiji; Nakao, Masafumi; Miyagawa, Ken-Ichiro; Nishimura, Osamu; Fujino, Masahiko Medicinal Chemistry Research Laboratories I, Pharmaceutical Research Division, Takeda Chemical

Industries, Ltd., Osaka, 532 8686, Japan Journal of Antibiotics (2002), 55(5), 499-507

CODEN: JANTAJ; ISSN: 0021-882Ø

Japan Antibiotics Research Association

Journal

DOCUMENT TYPE:

PUBLISHER:

SOURCE:

LANGUAGE:

English The novel natural antibiotics pyloricidin A, B and C, consisting of a common (2S, 3R, 4R, 5S)-5-amino-2, 3, 4 6-tetrahydroxyhexanoyl-.beta.-Dphenylalanine moiety and a terminal pertidic moiety (pyloricidin A: L-valine-L-valine-L-leucine; pyloricidin B: L-valine-L-leucine; pyloricidin C: L-leucine), exhibit potent and highly selective anti-Helicobacter pylori activity. In order to develop more potent compds. and to investigate structure activity relationships for the peptidic moiety with regard to the combination of amino acids, a series of derivs. with various dipeptidic moieties were prepd. and evaluated for their anti-H. pylori activity. The combination of the two amino acids in the moiety was found to have a significant effect on the activity; the compd. with Nva-Abu showed excellent anti-H. pylori activity with an MIC value of 0.013 .mu.g/mL against H. pylori TN2. In addn., this compd. was found to show 60% clearance of H. pylori from infected Mongolian gerbils

upon repetitive oral administration (10 mg/kg, b. i. d. for 7 days). 219813-04-4 219813-06-6 219813-20-4 219813-31-7 219813-39-5 219813-68-0

219813-69-1 219813-70-4 219823-29-7,

Pyloricidin B 219823-30-0, Pyloricidin C 435342-33-9

435342-40-8 435342-43-1

RL: BSU (Biological study, unclassified); BIOL (Biological study) (synthesis and anti-Helicobacter pylori activity of pyloricidin derivs.)

RN 219813-04-4 HCAPLUS

.beta.-Alanine, L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN219813-06-6 HCAPLUS

.beta.-Alanine, L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-CN (CA INDEX NAME)

RN 219813-20-4 HCAPLUS

CN .beta.-Alanine, L-methionyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (~).

RN 219813-31-7 HCAPLUS

cN .beta.-Alanine, L-asparaginyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-39-5 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-68-0 HCAPLUS

CN .beta.-Alanine, L-methionyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-69-1 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-70-4 HCAPLUS

CN .beta.-Alanine, 4,5-didehydro-L-norvalyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219823-29-7 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219823-30-0 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 435342-33-9 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 435342-40-8 HCAPLUS

CN .beta.-Alanine, L-glutaminyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-43-1 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-1-oxobutyl]amino]-5-deoxy-L-galactonoyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 219813-22-6

RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(synthesis and anti-Helicobacter pylori activity of pyloricidin derivs.)

RN 219813-22-6 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-1-oxo-4-pentenyl]amino]-5-deoxy-L-galactonoyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-75-9P 219813-76-0P 219813-77-1P IT282549-81-9P 444718-52-9P 444718-53-0P 444718-54-1P 444718-55-2P 444718-56-3P

444718-57-4P 444718-58-5P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis and anti-Helicobacter pylori activity of pyloricidin derivs.)

219813-75-9 HCAPLUS RN

.beta.-Alanine, L-norvalyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-CN galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-76-0 HCAPLUS

.beta.-Alanine, L-isoleucyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-CN galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-77-1 HCAPLUS

CN .beta.-Alanine, L-methionyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-81-9 HCAPLUS

CN .beta.-Alanine, L-norvalyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444718-52-9 HCAPLUS

CN .beta.-Alanine, 4,5-didehydro-L-norvalyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444718-53-0 HCAPLUS

CN .beta.-Alanine, L-asparaginyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444718-54-1 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444718-55-2 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-

, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444718-56-3 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444718-57-4 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-glutaminyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444718-58-5 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-methionyl-5-amino-5-deoxy-L-galactonoyl-3-

phenyl-, (3S)- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

IT 219812-79-0

> RL: RCT (Reactant); RACT (Reactant or reagent) (synthesis and anti-Helicobacter pylori activity of pyloricidin derivs.)

219812-79-0 HCAPLUS RN

CN Benzenepropanoic acid, .beta.-[(5-amino-5-deoxy-L-galactonoy1)amino]-, (.beta.S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS

16

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:262140 HCAPLUS

137:30442

TITLE:

Synthesis and anti-Helicobacter pylori activity of pyloricidin derivatives: I. Structure-activity

AUTHOR(S):

relationships on the terminal peptidic moiety Hasuoka, Atsushi; Nishikimi, Yuji; Nakayama, Yutaka;

Kamiyama, Keiji; Nakao, Masafumi; Miyagawa,

CORPORATE SOURCE:

Ken-Ichiro; Nishimura, Osamu; Fujino, Masahiko Medicinal Chemistry Research Laboratories I,

Pharmaceutical Research Division, Takeda Chemical

Industries, ኒtd.⊿ Osaka, 532\8686, Japan

SOURCE: Journal of Antibiotics (2002) > 55(3), 322-336

CODEN: JANTAJA ISSN: 002/1-8820

PUBLISHER:

Japan Antibiotics Research Association

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI

AB The novel natural antibiotics pyloricidin A, B (I) and C (II) possess potent and highly selective antibacterial activity against Helicobacter pylori. In order to investigate the structure-activity relationships for the terminal peptidic moiety, a series of I and II derivs., bearing various amino acids in the moiety, were prepd. and evaluated for their anti-H. pylori activity. The derivs. bearing .alpha.-D-, .beta.- and .gamma.-amino acids or peptidemimetics showed drastically decreased activity. On the other hand, the derivs. with .alpha.-L-amino acids were found to maintain the activity. Among the derivs. prepd. in this work, the allylglycine deriv. III showed the most potent anti-H. pylori activity, with an MIC value of less than 0.006 .mu.g/mL against H. pylori NCTC11637, which is 60-fold greater than the activity of the lead compd. II.pyloricidin B and pyloricidin C.

IT 219812-79-0

RN

RL: RCT (Reactant); RACT (Reactant or reagent)
(in synthesis of pyloricidin derivs. modified in the terminal peptidic moiety)

RN 219812-79-0 HCAPLUS

CN Benzenepropanoic acid, .beta.-[(5-amino-5-deoxy-L-galactonoyl)amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 219823-29-7, Pyloricidin B 219823-30-0, Pyloricidin C
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); THU
 (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent);
 USES (Uses)

(synthesis and structure-anti-Helicobacter pylori activity relationships of the terminal peptidic moiety of pyloricidin derivs.) 219823-29-7 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219823-30-0 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
IT
     219812-97-2P 219813-02-2P 219813-04-4P
     219813-05-5P 219813-06-6P 219813-08-8P
     219813-10-2P 219813-12-4P 219813-15-7P
     219813-19-1P 219813-20-4P 219813-21-5P
     219813-22-6P 219813-23-7P 219813-25-9P
     219813-28-2P 219813-29-3P 219813-30-6P
     219813-31-7P 219813-32-8P 219813-37-3P
     219813-38-4P 219813-39-5P 219813-41-9P
     219813-43-1P 219813-48-6P 219813-53-3P
     219813-55-5P 219813-58-8P 219813-68-0P
     219813-69-1P 219813-70-4P 219813-73-7P
    219813-74-8P 435342-28-2P 435342-29-3P
     435342-30-6P 435342-31-7P 435342-32-8P
     435342-33-9P 435342-34-0P 435342-35-1P
     435342-36-2P 435342-37-3P 435342-38-4P
     435342-40-8P 435342-41-9P 435342-42-0P
     435342-43-1P 435342-44-2P 435342-45-3P
     435342-46-4P 435342-47-5P
    RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (synthesis and structure-anti-Helicobacter pylori activity
        relationships of the terminal peptidic moiety of pyloricidin derivs.)
RN
    219812-97-2 HCAPLUS
```

CN .beta.-Alanine, O-methyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-02-2 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-04-4 HCAPLUS

CN .beta.-Alanine, L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-05-5 HCAPLUS

CN .beta.-Alanine, L-valyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-06-6 HCAPLUS

CN .beta.-Alanine, L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-08-8 HCAPLUS

CN .beta.-Alanine, L-phenylalanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-10-2 HCAPLUS

CN .beta.-Alanine, L-prolyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-12-4 HCAPLUS

CN .beta.-Alanine, 5,5,5-trifluoro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-15-7 HCAPLUS

CN .beta.-Alanine, 5-fluoro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-19-1 HCAPLUS

CN .beta.-Alanine, 3-cyano-L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-20-4 HCAPLUS

CN .beta.-Alanine, L-methionyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-21-5 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-22-6 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-1-oxo-4-pentenyl]amino]-5-deoxy-L-galactonoyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

RN 219813-23-7 HCAPLUS

CN .beta.-Alanine, L-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-25-9 HCAPLUS

CN .beta.-Alanine, L-phenylalanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-28-2 HCAPLUS

CN .beta.-Alanine, L-.alpha.-glutamyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-29-3 HCAPLUS

CN .beta.-Alanine, N-(4-amino-1-oxobutyl)-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-30-6 HCAPLUS

CN .beta.-Alanine, L-ornithyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-31-7 HCAPLUS

CN .beta.-Alanine, L-asparaginyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-32-8 HCAPLUS

CN .beta.-Alanine, L-glutaminyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-37-3 HCAPLUS

CN .beta.-Alanine, 5,5,5-trifluoro-L-norvalyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (~).

RN 219813-38-4 HCAPLUS

CN .beta.-Alanine, L-leucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-39-5 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-41-9 HCAPLUS

CN .beta.-Alanine, O-methyl-L-seryl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-43-1 HCAPLUS

CN .beta.-Alanine, N-methyl-L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-48-6 HCAPLUS

CN .beta.-Alanine, 3-cyano-L-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-53-3 HCAPLUS

CN .beta.-Alanine, glycyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-55-5 HCAPLUS

CN .beta.-Alanine, L-prolyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-58-8 HCAPLUS

CN .beta.-Alanine, L-seryl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-68-0 HCAPLUS

CN .beta.-Alanine, L-methionyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-69-1 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-70-4 HCAPLUS

CN .beta.-Alanine, 4,5-didehydro-L-norvalyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-73-7 HCAPLUS

CN .beta.-Alanine, L-valyl-N-methyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-74-8 HCAPLUS

CN .beta.-Alanine, N-[(2S)-2-amino-3-methylbutyl]-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 435342-28-2 HCAPLUS

CN .beta.-Alanine, D-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-29-3 HCAPLUS

CN .beta.-Alanine, D-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-30-6 HCAPLUS

CN .beta.-Alanine, .beta.-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 435342-31-7 HCAPLUS

CN .beta.-Alanine, L-lysyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-32-8 HCAPLUS

CN .beta.-Alanine, (2S)-2-aminobutanoyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-33-9 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 435342-34-0 HCAPLUS

CN .beta.-Alanine, L-norleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-35-1 HCAPLUS

CN .beta.-Alanine, N-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 435342-36-2 HCAPLUS

CN .beta.-Alanine, N-[(1-methylhydrazino)carbonyl]-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 435342-37-3 HCAPLUS

CN .beta.-Alanine, D-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-38-4 HCAPLUS

CN L-Galactonamide, 5-[(4-amino-1-oxobutyl)amino]-N-[(1S)-2-carboxy-1-phenylethyl]-5-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-40-8 HCAPLUS

CN .beta.-Alanine, L-glutaminyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 435342-41-9 HCAPLUS

CN .beta.-Alanine, L-ornithyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-42-0 HCAPLUS

CN .beta.-Alanine, L-.alpha.-glutamyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-43-1 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-1-oxobutyl]amino]-5-deoxy-L-galactonoyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

RN 435342-44-2 HCAPLUS

CN .beta.-Alanine, L-norleucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 435342-45-3 HCAPLUS

CN .beta.-Alanine, (3E)-3,4-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

RN 435342-46-4 HCAPLUS

CN .beta.-Alanine, 4,5-didehydro-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 435342-47-5 HCAPLUS

.beta.-Alanine, 4,4,5,5-tetradehydro-L-norvalyl-5-amino-5-deoxy-L-CN galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:203157 HCAPLUS

DOCUMENT NUMBER: 136:402014

TITLE: Total synthesis of novel antibiotics pyloricidin A, B

and C and their application in the study of

pyloricidin derivatives

AUTHOR(S): Hasuoka, Atsushi; Nishikimi, Yuji; Nakayama, Yutaka;

> Kamiyama, Keiji; Nakao, Masafumi; Miyagawa, Ken-Ichiro; Nishimura, Osamu; Fujino, Masahiko

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories I,

Pharmaceutical Research Division, Takeda Chemical

Industries, Mtd., Osaka, 32-8686, Japan

Journal of Antibiotics (2002), 55(2), 191-203 CODEN: JANTA (; ISSN: 0021-882) SOURCE:

PUBLISHER: Japan Antibiotics Research Association

DOCUMENT TYPE: Journal LANGUAGE: English

AB The novel natural antibiotics pyloricidin A, B and C, which possess potent and highly selective anti-Helicobacter pylori activity, were synthesized from D-galactosamine as a chiral template for the common (2S, 3R, 4R, 5S)-5-amino-2, 3, 4, 6-tetrahydroxyhexanoic acid moiety. synthetic strategy, using 2-amino-2-deoxyuronic acid derivs. as key intermediates, was also useful to prep. a series of derivs. modified at the .beta.-D-phenylalanine and with altered stereochem. on the 5-amino-2,3,4,6-tetrahydroxyhexanoic acid moiety. From the drastic decrease of their anti-H. pylori activity, it was clear that the

.beta.-D-phenylalanine part and the stereochem. of the 5-amino-2,3,4,6-tetrahydroxyhexanoic acid moiety were significant for the activity.

IT 219813-79-3P 219823-30-0P 430474-84-3P 430475-07-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(total synthesis of antibiotics pyloricidin A, B and C and their derivs. and structure-antibacterial activity relationship of aminotetrahydroxyhexanoic acid moiety)

RN 219813-79-3 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-(4-methylphenyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219823-30-0 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 430474-84-3 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-gulonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 430475-07-3 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 219823-28-6P 219823-29-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (total synthesis of antibiotics pyloricidin A, B and C and their derivs. and structure-antibacterial activity relationship of aminotetrahydroxyhexanoic acid moiety)

RN 219823-28-6 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219823-29-7 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:887897 HCAPLUS

20

DOCUMENT NUMBER:

136:213275

TITLE:

Pyloricidins, novel anti-Helicobacter pylori

antibiotics produced by Bacillus sp. II. Isolation and

structure elucidation

AUTHOR(S):

Nagano, Yoiohi; Ikedo, Koji; Fujishima, Akira; Izawa,

Motowo; Tsubotani, Shigetoshi; Nishimura, Osamu;

Fujino, Masahako

CORPORATE SOURCE:

Pharmaceutical Discovery Center, Pharmaceutical

Research Division, Takeda Chemical Industries, Ltd.,

Osaka, 532-\$686, Japan

SOURCE:

Journal of Antibiotics (2001), \$4(11), 934-947

CODEN: JANTA ; ISSN: 0021-8820

PUBLISHER:

Japan Antibiotics Research Association

DOCUMENT TYPE:
LANGUAGE:

Journal English

AB Novel anti-Helicobacter pylori antibiotics, pyloricidins A, A1, A2, B, C and D were isolated from Bacillus sp. HC-70 and Bacillus sp. HC-72 by column chromatogs. using adsorption and ion exchange resins. Their structures have been elucidated based on spectroscopic and degrdn. studies and shown to be peptide-like compds. These compds. contained two unusual amino acids, viz., 5-amino-2,3,4,6-tetrahydroxyhexanoic acid and 3-amino-3-phenylpropionic acid (.beta.-phenylalanine). The structure-activity relationship studies suggested that 3-(5-amino-2,3,4,6-tetrahydroxyhexanoyl)amino-3-phenylpropionic acid

moiety was essential for anti-H. pylori activity.

1T 219812-79-0P, Pyloricidin D 219823-28-6P, Pyloricidin A 219823-29-7P, Pyloricidin B 219823-30-0P, Pyloricidin C

219823-32-2P, Pyloricidin Al 219823-33-3P, Pyloricidin

A2

RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (pyloricidins as novel anti-Helicobacter pylori antibiotics produced by Bacillus)

RN 219812-79-0 HCAPLUS

CN Benzenepropanoic acid, .beta.-[(5-amino-5-deoxy-L-galactonoy1)amino]-,

(.beta.S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219823-28-6 HCAPLUS

CN .beta.-Alanine, L-valyl-L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219823-29-7 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219823-30-0 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219823-32-2 HCAPLUS

CN .beta.-Alanine, L-valyl-L-isoleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219823-33-3 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:887896 HCAPLUS

DOCUMENT NUMBER:

136:147569

TITLE:

Pyloricidins, novel anti-Helicobacter pylori

antibiotics produced by Bacillus sp. I. Taxonomy,

fermentation, and biological activity

AUTHOR(S):

Nakao, Masafumi; Miyagawa, Ken-Ichiro; Nakano,

Yoshitaka; Sakane, Takeshi; Tada, Mayumi; Nishimura,

Osamu; Fujino, Masahiko

CORPORATE SOURCE:

Pharmacology Research laboratories II, Pharmaceutical Research Division, Takeda Chemical Industries, Ltd.,

Osaka, 532-8686, Japan

SOURCE:

Journal of Antibiotics (2001), 54(11), 926-933 CODEN: JANTAJ; ISSN: 0021-8820

PUBLISHER:

Japan Antibiotics Research Association

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Novel anti-Helicobacter pylori antibiotics, pyloricidins A, A1, A2, B, C, AΒ and D, were discovered in the culture broth of 2 bacilli strains. Pyloricidins selectively inhibited the growth of H. pylori. Pyloricidin B was efficacious in the treatment of gastric infection caused by H. pylori in Mongolian gerbils and may be promising for cure of H. pylori infection as a single agent.

219812-79-0P, Pyloricidin D 219823-28-6P, Pyloricidin A IT219823-29-7P, Pyloricidin B 219823-30-0P, Pyloricidin C 219823-32-2P, Pyloricidin Al 219823-33-3P, Pyloricidin A2

RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)

(anti-Helicobacter pylori antibiotics, pyloricidins A, A1, A2, B, C, and D, produced by Bacillus)

219812-79-0 HCAPLUS RN

Benzenepropanoic acid, .beta.-[(5-amino-5-deoxy-L-galactonoy1)amino]-, CN (.beta.S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219823~28-6 HCAPLUS RN

.beta.-Alanine, L-valyl-L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219823-29-7 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219823-30-0 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219823-32-2 HCAPLUS

CN .beta.-Alanine, L-valyl-L-isoleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN219823-33-3 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS L5

ACCESSION NUMBER:

2000:475680 HCAPLUS

DOCUMENT NUMBER:

TITLE:

133:105346

Preparation of polyol-amino acid compounds having

activity against Helicobacter pylori

INVENTOR(S):

Kamiyama, Keiji; Nishikimi, Yuji; Hasuoka, Atsushi;

Nakao, Masafumi; Miyagawa, Ken-ichiro; Akiyama, Yohko Takeda Chemical Industries, Ltd., Japan

PATENT ASSIGNEE(S):

PCT Int. Appl., 91 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO.

KIND DATE

1

APPLICATION NO. DATE

₩O 2000040599

20000713 Α1

WO 2000-JP23 20000106

AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, GR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR,

May 5, 2003

LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 20000919 JP 2000-5735 20000106 A2 JP 2000256395 EP 2000-900126 20000106 Α1 20011010 EP 1140979 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: JP 1999-1898 A 19990107

WO 2000-JP23 W 20000106

OTHER SOURCE(S):

MARPAT 133:105346

GΙ

CN

Title compds. I (X = L-serine, L-asparagine, or (S)-2-aminobutyric acid residue; Y is .alpha.-L-amino acid residue) or their salts or prodrugs having activity against Helicobacter bacteria were prepd. Thus, (S)-3-[[(2S,3R,4R,5S)-5-[(L-norvalyl-(S)-2-aminobutyryl)amino]-2,3,4,6-tetrahydroxyhexanoyl]amino]-3-phenylpropionic acid, prepd. from a leucine-polyol isolated from Bacillus sp. HC-72, showed min. inhibitory concn. 0.025 mg/mL against Helicobacter pylori. Pharmaceutical formulations the above product are given.

IT 282549-81-9P 282549-83-1P

RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of polyol-amino acid compds. having activity against Helicobacter pylori)

RN 282549-81-9 HCAPLUS

.beta.-Alanine, L-norvalyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 282549-83-1 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 282549-69-3P 282549-71-7P 282549-73-9P 282549-75-1P 282549-77-3P 282549-79-5P 282549-85-3P 282549-87-5P 282549-89-7P 282549-91-1P 282549-93-3P 282549-95-5P 282549-98-8P 282550-00-9P 282550-02-1P 282550-04-3P 282550-06-5P 282550-21-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of polyol-amino acid compds. having activity against Helicobacter pylori)

RN 282549-69-3 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-71-7 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 282549-73-9 HCAPLUS

CN .beta.-Alanine, L-methionyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-75-1 HCAPLUS

CN .beta.-Alanine, L-norvalyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-77-3 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 282549-79-5 HCAPLUS

CN .beta.-Alanine, L-methionyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-85-3 HCAPLUS

CN .beta.-Alanine, L-methionyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-87-5 HCAPLUS

CN .beta.-Alanine, L-asparaginyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 282549-89-7 HCAPLUS

CN .beta.-Alanine, 4,5-didehydro-L-norvalyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-91-1 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-93-3 HCAPLUS

CN .beta.-Alanine, L-asparaginyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 282549-95-5 HCAPLUS

CN .beta.-Alanine, 4,5-didehydro-L-norvalyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282549-98-8 HCAPLUS

CN .beta.-Alanine, L-asparaginyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282550-00-9 HCAPLUS

CN .beta.-Alanine, 4,5-didehydro-L-norvalyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 282550-02-1 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282550-04-3 HCAPLUS

CN .beta.-Alanine, L-lysyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282550-06-5 HCAPLUS

CN .beta.-Alanine, L-valyl-(2S)-2-aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 282550-21-4 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 Ph
 OH
 OH
 OH
 OH
 HN
 NH_2
 NH_2

IT 219823-29-7P

RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of polyol-amino acid compds. having activity against Helicobacter pylori)

RN 219823-29-7 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 219823-30-0P

RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological

study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of polyol-amino acid compds. having activity against

Helicobacter pylori)

RN 219823-30-0 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 219812-79-0P

RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of polyol-amino acid compds. having activity against Helicobacter pylori)

RN 219812-79-0 HCAPLUS

CN Benzenepropanoic acid, .beta.-[(5-amino-5-deoxy-L-galactonoyl)amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 219813-07-7P 282549-74-0P 282550-07-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of polyol-amino acid compds. having activity against Helicobacter pylori)

RN 219813-07-7 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-1-oxobutyl]amino]-5-deoxy-L-galactonoyl]amino]-, monohydrochloride, (.beta.S)- (9CI) (CA INDEX NAME)

● HCl

RN 282549-74-0 HCAPLUS

CN .beta.-Alanine, N-[(phenylmethoxy)carbonyl]-L-norvalyl-L-asparaginyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 282550-07-6 HCAPLUS

CN .beta.-Alanine, N-[(phenylmethoxy)carbonyl]-L-norvalyl-(2S)-2aminobutanoyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
                         1999:64823 HCAPLUS
                         130:125408
DOCUMENT NUMBER:
                         Preparation of polyol-amino acid compounds having
TITLE:
                         activity against Helicobacter pylori
                         Miyaqawa, Ken-ichiro; Tsubotani, Shigetoshi; Nakao,
INVENTOR(S):
                         Masafumi; Nakano, Yoshitaka; Kamiyama, Keiji; Izawa,
                         Motoo; Akiyama, Yohko; Nishikimi, Yuji
                         Takeda Chemical Industries, Ltd., Japan
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 178 pp.
SOURCE:
                         CODEN: PIXXD2
                         Patent
DOCUMENT TYPE:
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                     KIND DATE
                                          APPLICATION NO.
                                                            DATE
    PATENT NO.
                                          ______
                            _____
                                                            19980708
                                          WO 1998-JP3066
    WO 9902549
                     A1
                            19990121
        W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR
            HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK,
            MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
            US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    AU 9881273
                            19990208
                                          AU 1998-81273
                                                            19980708
                      A1
                            19990326
                                           JP 1998-193489
                                                            19980708
     JP 11080109
                      A2
    EP 998488
                      A1
                            20000510
                                          EP 1998-931013
                                                            19980708
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     ZA 9806079
                            20000110
                                           ZA 1998-6079
                                                            19980709
     TW-47-9:054
                       В
                            20020311
                                           TW 1998-87111146 19980709
    US/6423869
                            20020723
                                           US 1999-423118
                                                            19991101
                       В1
               PŃFO.:
                                        JP 1997-184086
PRIORITY APPLN.
                                                       A 19970709
                                        WO 1998-JP3066
                                                       W 19980708
                         MARPAT 130:125408
OTHER SOURCE(S):
GΙ
```

$$R^3$$
 R^5 O Q R^2 R^4 R^6 R^2 R^2

Title compds. I [R1 = (un)substituted amino, (un)substituted amino acid AB residue, (un) substituted peptide residue; R2 = optionally esterified or amidated carboxy; R3, R4, R5, R6 independently = (un)protected OH; Q = (un) substituted aryl] or a salt thereof, isolated from Bacillus cultures and chem. modified, are described. I possess anti-Helicobacter pylori activity, and useful in the prevention or treatment of various diseases assocd. with Helicobacter bacteria, such as duodenal ulcer, gastric ulcer, chronic gastritis, and cancer of the stomach. Thus, leucine-polyol conjugate II (R = H, R7 = OH) (HC-70III), isolated from Bacillus sp. HC-70 or from Bacillus insolitus HC-72, underwent N-terminal peptide coupling with a variety of amino acid derivs., or amidation or esterification at the C-terminus to give a variety of derivs., e.g. II [R = H, PhCH2O2C (Cbz), H-Ala, H-Sar, H-Phe, H-Lys, H-Glu, H-Orn, H-Asn, H-Gln, H-Thr, H-Leu, H-Ile, H-Ser(Me), H-Val, H-Nva, H-Nle, H-D-Ala, R7 = OH, OCHPh2, OEt, OCH2OCMe3, NH2]. A variety of pharmaceutical formulations contg. I (R = H, R7 = OH) are given.

ΙI

IT 219812-79-0P 219823-29-7P, HC 70II 219823-30-0P

, HC 70III
RL: BAC (Biological activity or effector, except adverse); BPN
(Biosynthetic preparation); BSU (Biological study, unclassified); RCT
(Reactant); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)

(fermentative prepn. and chem. modification of polyol-amino acid compds. having activity against Helicobacter pylori)

RN 219812-79-0 HCAPLUS

CN Benzenepropanoic acid, .beta.-[(5-amino-5-deoxy-L-galactonoyl)amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

219823-29-7 HCAPLUS RN

.beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN (CA INDEX NAME) (3S) - (9CI)

Absolute stereochemistry.

219823-30-0 HCAPLUS RN

.beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219823-28-6P, HC 70I 219823-32-2P, HC 70IA IT **219823-33-3P,** HC 70IB

RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fermentative prepn. and chem. modification of polyol-amino acid compds. having activity against Helicobacter pylori)

219823-28-6 HCAPLUS RN

.beta.-Alanine, L-valyl-L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219823-32-2 HCAPLUS

CN .beta.-Alanine, L-valyl-L-isoleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219823-33-3 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 219812-83-6P 219812-88-1P 219813-22-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(fermentative prepn. and chem. modification of polyol-amino acid compds. having activity against Helicobacter pylori)

RN 219812-83-6 HCAPLUS

CN

.beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-,
monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 219812-88-1 HCAPLUS

CN .beta.-Alanine, N-[(phenylmethoxy)carbonyl]-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-22-6 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-1-oxo-4-pentenyl]amino]-5-deoxy-L-galactonoyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

```
219812-80-3P 219812-95-0P 219812-96-1P
IT
    219812-97-2P 219812-98-3P 219813-01-1P
    219813-02-2P 219813-04-4P 219813-05-5P
    219813-06-6P 219813-07-7P 219813-08-8P
    219813-09-9P 219813-10-2P 219813-12-4P
    219813-13-5P 219813-14-6P 219813-15-7P
     219813-16-8P 219813-18-0P 219813-19-1P
    219813-20-4P 219813-21-5P 219813-23-7P
    219813-24-8P 219813-25-9P 219813-26-0P
    219813-28-2P 219813-29-3P 219813-30-6P
     219813-31-7P 219813-32-8P 219813-33-9P
     219813-34-0P 219813-35-1P 219813-36-2P
     219813-37-3P 219813-38-4P 219813-39-5P
     219813-41-9P 219813-42-0P 219813-43-1P
     219813-44-2P 219813-45-3P 219813-46-4P
     219813-47-5P 219813-48-6P 219813-51-1P
     219813-53-3P 219813-55-5P 219813-57-7P
     219813-58-8P 219813-65-7P 219813-66-8P
     219813-67-9P 219813-68-0P 219813-69-1P
     219813-70-4P 219813-71-5P 219813-73-7P
     219813-74-8P 219813-75-9P 219813-76-0P
     219813-77-1P 219813-79-3P 219823-31-1P, HC
     70II monohydrochloride
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (fermentative prepn. and chem. modification of polyol-amino acid
        compds. having activity against Helicobacter pylori)
RN
     219812-80-3 HCAPLUS
     .beta.-Alanine, N-acetyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-,
CN
     monosodium salt, (3S)- (9CI) (CA INDEX NAME)
```

Na

RN 219812-95-0 HCAPLUS

CN .beta.-Alanine, L-ornithyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

●2 HCl

RN 219812-96-1 HCAPLUS

CN .beta.-Alanine, L-.alpha.-glutamyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

● HCl

219812-97-2 HCAPLUS RN

.beta.-Alanine, O-methyl-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN219812-98-3 HCAPLUS

.beta.-Alanine, O-(phenylmethyl)-L-seryl-5-amino-5-deoxy-L-galactonoyl-3-CNphenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219813-01-1 HCAPLUS RN

.beta.-Alanine, L-seryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-02-2 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-04-4 HCAPLUS

CN .beta.-Alanine, L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-05-5 HCAPLUS

CN .beta.-Alanine, L-valyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

219813-06-6 HCAPLUS RN

.beta.-Alanine, L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-07-7 HCAPLUS RN

Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-1-oxobutyl]amino]-5-deoxy-CN L-galactonoyl]amino]-, monohydrochloride, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

HCl

219813-08-8 HCAPLUS RN

.beta.-Alanine, L-phenylalanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-09-9 HCAPLUS

CN .beta.-Alanine, 3-(acetylamino)-L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-10-2 HCAPLUS

CN .beta.-Alanine, L-prolyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-12-4 HCAPLUS

CN .beta.-Alanine, 5,5,5-trifluoro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

219813-13-5 HCAPLUS RN

Benzenepropanoic acid, .beta.-[[5-[[(2S)-2-amino-4,4,4-trifluoro-1-CN oxobutyl]amino]-5-deoxy-L-galactonoyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-14-6 HCAPLUS

.beta.-Alanine, 3-[(methylsulfonyl)amino]-L-alanyl-5-amino-5-deoxy-L-CN galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219813-15-7 HCAPLUS RN

.beta.-Alanine, 5-fluoro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-CN , (3S)- (9CI) (CA INDEX NAME)

RN 219813-16-8 HCAPLUS

CN .beta.-Alanine, 3-(formylamino)-L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-18-0 HCAPLUS

CN .beta.-Alanine, L-homoseryl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-19-1 HCAPLUS

CN .beta.-Alanine, 3-cyano-L-alanyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-20-4 HCAPLUS CN .beta.-Alanine, L-methionyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-21-5 HCAPLUS

CN .beta.-Alanine, S-methyl-L-cysteinyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-23-7 HCAPLUS

CN .beta.-Alanine, L-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

219813-24-8 HCAPLUS RN

.beta.-Alanine, N-methylglycyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CNphenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219813-25-9 HCAPLUS RN

.beta.-Alanine, L-phenylalanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN phenyl-, (3S)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry.

219813-26-0 HCAPLUS RN

.beta.-Alanine, L-lysyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

●2 HCl

RN 219813-28-2 HCAPLUS

CN .beta.-Alanine, L-.alpha.-glutamyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-29-3 HCAPLUS

CN .beta.-Alanine, N-(4-amino-1-oxobutyl)-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-30-6 HCAPLUS

CN .beta.-Alanine, L-ornithyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-31-7 HCAPLUS

CN .beta.-Alanine, L-asparaginyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-32-8 HCAPLUS

CN .beta.-Alanine, L-glutaminyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-33-9 HCAPLUS

CN .beta.-Alanine, 3-(acetylamino)-L-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-34-0 HCAPLUS

CN .beta.-Alanine, 3-amino-L-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-35-1 HCAPLUS

CN .beta.-Alanine, O-methyl-L-threonyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-36-2 HCAPLUS

CN .beta.-Alanine, 3-cyclohexyl-L-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-37-3 HCAPLUS

.beta.-Alanine, 5,5,5-trifluoro-L-norvalyl-L-leucyl-5-amino-5-deoxy-L-CNgalactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-38-4 HCAPLUS RN

.beta.-Alanine, L-leucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN (3S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-39-5 HCAPLUS

.beta.-Alanine, L-isoleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN phenyl-, (3S)- (9CI) (CA INDEX NAME)

219813-41-9 HCAPLUS RN

.beta.-Alanine, O-methyl-L-seryl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-42-0 HCAPLUS RN

.beta.-Alanine, 2-phenylglycyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219813-43-1 HCAPLUS RN

.beta.-Alanine, N-methyl-L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN phenyl-, (3S)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry.

219813-44-2 HCAPLUS RN

.beta.-Alanine, (2S)-2-aminobutanoyl-L-leucyl-5-amino-5-deoxy-L-CN galactonoyl-3-phenyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

● HCl

219813-45-3 HCAPLUS RN

.beta.-Alanine, L-norvalyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-CN , monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

HCl

RN 219813-46-4 HCAPLUS CN .beta.-Alanine, L-norleucyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

HCl

RN 219813-47-5 HCAPLUS

CN .beta.-Alanine, D-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

● HCl

RN 219813-48-6 HCAPLUS

.beta.-Alanine, 3-cyano-L-alanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

219813-51-1 HCAPLUS RN

.beta.-Alanine, L-.alpha.-aspartyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-CN 3-phenyl-, 1-methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219813-53-3 HCAPLUS RN

.beta.-Alanine, glycyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-55-5 HCAPLUS RN

.beta.-Alanine, L-prolyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN (CA INDEX NAME) (3S) - (9CI)

219813-57-7 HCAPLUS RN

.beta.-Alanine, O-(phenylmethyl)-L-seryl-L-leucyl-5-amino-5-deoxy-L-CN galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

219813-58-8 HCAPLUS RN

.beta.-Alanine, L-seryl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, CN (3S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-65-7 HCAPLUS RN

.beta.-Alanine, L-tryptophyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN (CA INDEX NAME) phenyl-, (3S)- (9CI)

RN 219813-66-8 HCAPLUS

CN .beta.-Alanine, 2-methylalanyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-67-9 HCAPLUS

CN .beta.-Alanine, N-[(1-aminocyclohexyl)carbonyl]-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-68-0 HCAPLUS

CN .beta.-Alanine, L-methionyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

219813-69-1 HCAPLUS RN

.beta.-Alanine, S-methyl-L-cysteinyl-L-leucyl-5-amino-5-deoxy-L-CNgalactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-70-4 HCAPLUS RN

.beta.-Alanine, 4,5-didehydro-L-norvalyl-L-leucyl-5-amino-5-deoxy-L-CN galactonoy1-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

219813-71-5 HCAPLUS RN

.beta.-Alanine, 5-oxo-L-prolyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-CN (CA INDEX NAME) phenyl-, (3S)- (9CI)

RN 219813-73-7 HCAPLUS

CN .beta.-Alanine, L-valyl-N-methyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-74-8 HCAPLUS

CN .beta.-Alanine, N-[(2S)-2-amino-3-methylbutyl]-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219813-75-9 HCAPLUS

CN .beta.-Alanine, L-norvalyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

RN 219813-76-0 HCAPLUS

CN .beta.-Alanine, L-isoleucyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-77-1 HCAPLUS

CN .beta.-Alanine, L-methionyl-4,5-didehydro-L-norvalyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219813-79-3 HCAPLUS

CN .beta.-Alanine, L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-(4-methylphenyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 219823-31-1 HCAPLUS

CN .beta.-Alanine, L-valyl-L-leucyl-5-amino-5-deoxy-L-galactonoyl-3-phenyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

93212

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

40.17	11016.1	69404-	clobe
Requester's Full Name: All Land Phone 1	Number 30 $7 - 9 = 3$	Examiner #: 0/907 Da Serial Number: 09/	te: 3/1/43 867 007
Mail Box and Bldg/Room Location		Its Format Preferred (circle)	PER DISK E-MAIL
Mail Box and Blag Room Bound	10 A03	(0.1010)	
If more than one search is subn	nitted, please prioritiz	e searches in order of need.	*****
Please provide a detailed statement of the			
Include the elected species or structures, in utility of the invention. Define any terms			
known. Please attach a copy of the cover			
Tide of Landing Polyal	rompounds,	their production	1450
Title of Invention:	Le iji Kan	Word Will Hiel	ika: Atc
Inventors (please provide full names):	Polos Ven	due la Va pour Va	L.V. AViva
1,20 (0.5)	1/2/1092	MINO MINIO GIAME) 10	VAIL-OF THE PROPERTY
Earliest Priority Filing Date:	/ 4///		
For Sequence Searches Only Please inclu appropriate serial number.	ide all pertinent information (p	parent, child, divisional, or issued patent	numbers) along with the
7			
Olonia 1	Q. /	100 m	,
The Mountain	search.	Compound	04
1 6	ŧ	f -	-
Claila		·	
1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	•		
	·		
POINT OF CONTAC	(:		
PAUL SCHULWITZ	2		
TECHNICAL INFO. SPEC CM1 6B06 TEL. (703) 30			
*********	******		*****
STAFF USE ONLY	Type of Search	Vendors and cost where a	ıpplicable
Searcher:	NA Sequence (#)	STN 165.17	· · · · · · · · · · · · · · · · · · ·
Searcher Phone #:	AA Sequence (#)	Dialog	
Searcher Location:	Structure (#)	Questel/Orbit	
Date Searcher Picked Up:	Bibliographic	Dr.Link	
Date Completed: 5/5	Litigation	Lexis/Nexis	
Searcher Prep & Review Time:	Fulltext	Sequence Systems	
Clerical Prep Time:	Patent Family	WWW/Internet	
Online Time:	Other	Other (specify)	

Keiji KAMIYAMA et al.

Attn: BOX PCT

: Docket No. 2001_0710A

Filed June 13, 2001

Serial No. NEW

POLYOL COMPOUNDS, THEIR PRODUCTION AND USE [Corresponding to PCT/JP00/00023 Filed January 6, 2000]

PRELIMINARY AMENDMENT

Assistant Commissioner for Patents, Washington, DC 20231

Sir:

Prior to calculating the filing fee, please amend the above-identified application as follows:

IN THE SPECIFICATION

Page 1, immediately after the title, please insert:

This application is a 371 of PCT/JP00/00023 filed January 6, 2000.

IN THE CLAIMS

Please amend the claims as follows:

1. (Amended) A compound of the formula:

Y-X NH OH OH OH

ATTACHMENT E

5/.

continued on Next page

wherein X is L-serine residue, L-asparagine residue or (S)-2-aminobutyric acid residue and Y is α -L-amino acid residue, or a salt thereof.

AZ

7. (Amended) A pharmaceutical composition which comprises the compound claimed in claim 1 or its pro-drug and a pharmaceutically acceptable additive.

/J

12. (Amended) A pharmaceutical composition which is a gastric mucosa adhesive pharmaceutical composition comprising (a) a compound as claimed in claim 1, (b) a lipid and/or a polyglycerol fatty acid ester and (c) a viscogenic agent capable of being viscous with water.

19. (Amended) A method for manufacturing a pharmaceutical composition for Helicobacter pylori infectious disease, which comprises mixing the compound according to claim 1 or its pro-drug with a pharmaceutically acceptable additive.

20. (Amended) The method as claimed in claim 19, wherein the composition is for treating or preventing a *Helicobacter pylori* infectious disease.

21. (Amended) The method as claimed in claim 20, wherein the *Helicobacter pylori* infectious disease is gastric or duodenal ulcer, gastritis, gastric cancer or gastric MALT lymphoma.

I

22. (Amended) A method for producing a compound claimed in claim 1, which comprises reacting a compound of the formula:

$$H_2N$$

$$\begin{array}{c}
 & OR^1 OR^3 & O \\
 & \overline{O}R & OR^4 & H
\end{array}$$

$$\begin{array}{c}
 & OR^5 \\
 & OR^5 & OR^5
\end{array}$$

(11)